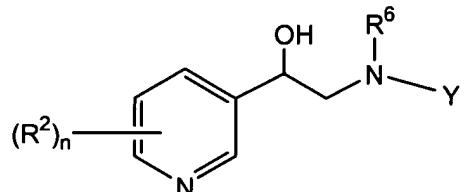


Claim Amendments

1 – 17 (cancelled).

18(original). A process for preparing a compound of the formula



wherein n is 0, 1, 2 or 3;

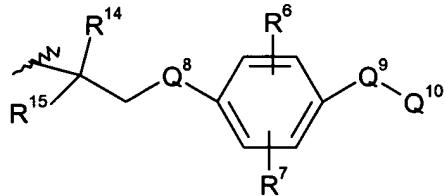
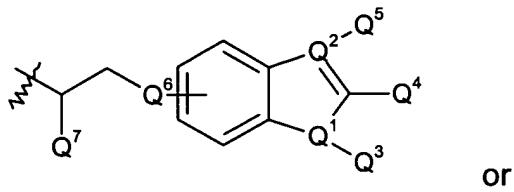
each R² is independently hydrogen, halo, trifluoromethyl, cyano, SR⁴, OR⁴, SO₂R⁴, OCOR⁵, or (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, N(R⁴)₂, SR⁴, trifluoromethyl, OR⁴, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, NR⁴COR⁵, COR⁵, SO₂R⁵, OCOR⁵, NR⁴SO₂R⁵ and NR⁴CO₂R⁴;

R⁴ and R⁵, for each occurrence, are each independently selected from hydrogen, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocycloalkyl, (C₂-C₉)heteroaryl or (C₁-C₆)aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, (C₁-C₁₀)alkyl-CO₂, (C₁-C₁₀)alkylsulfonyl, (C₃-C₈)cycloalkyl, (C₁-C₁₀)alkoxy, or (C₁-C₆)alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, ((C₁-C₆)alkyl)₂amino, pyrrolidine, piperidine, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₁-C₁₀)alkylthio and (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, (C₁-C₆)alkyl-CO₂, (C₁-C₆)alkylsulfonyl, (C₃-C₈)cycloalkyl and (C₁-C₆)alkoxy;

or R⁵ is N(R⁴)₂ wherein R⁴ is as defined above;

R⁶ is COR⁷ or CO₂R⁷ wherein R⁷ is (C₁-C₈)alkyl; and

Y is



wherein:

Q^1 is oxygen, nitrogen or sulfur;

Q^2 is carbon or nitrogen;

Q^3 is hydrogen, $-(CH_2)_q$ -phenyl, $-(C_1-C_{10})$ alkyl, $-(CH_2)_q-NG^1G^2$, $-(CH_2)_q-CO_2G^3$, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-OG^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)$ alkyl, $-(CH_2)_q-SO_2NG^1G^2$, or a heterocycle selected from the group consisting of $-(CH_2)_q$ -pyridyl, $-(CH_2)_q$ -pyrimidyl, $-(CH_2)_q$ -pyraziqyl, $-(CH_2)_q$ -isoxazolyl, $-(CH_2)_q$ -oxazolyl, $-(CH_2)_q$ -thiazolyl, $-(CH_2)_q$ -(1,2,4-oxadiazolyl), $-(CH_2)_q$ -imidazolyl, $-(CH_2)_q$ -triazolyl and $-(CH_2)_q$ -tetrazolyl;

wherein one of the ring nitrogen atoms of said $-(CH_2)_q$ -imidazolyl, $-(CH_2)_q$ -triazolyl and $-(CH_2)_q$ -tetrazolyl may optionally be substituted by (C_1-C_8) alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of (C_1-C_8) alkyl optionally independently substituted with one or more halo atoms, nitro, cyano, $-(CH_2)_q-NG^1G^2$, $-(CH_2)_q-CO_2G^3$, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-OG^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)$ alkyl and $-(CH_2)_q-SO_2NG^1G^2$;

wherein the phenyl moiety of said $-(CH_2)_q$ -phenyl may optionally be substituted with one or more substituents independently selected from the group consisting of (C_1-C_6) alkyl optionally independently substituted with one or more halo atoms, hydroxy, (C_1-C_6) alkoxy optionally independently substituted with one

or more halo atoms, $(C_1-C_6)alkylthio$, fluoro, chloro, bromo, iodo, cyano, nitro, $-(CH_2)_q-NG^1G^2$, $-(CH_2)_q-CO_2G^3$, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-OG^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)alkyl$, $-(CH_2)_q-SO_2NG^1G^2$; $-(CH_2)_q-NG^3-SO_2-G^3$ and $-(CH_2)_q-NG^3-SO_2-NG^1G^2$;

Q^4 is $-(CH_2)_q-CN$, $-(CH_2)_qCO_2G^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)alkyl$, $-(CH_2)_q-SO_2NG^1G^2$, $-(CH_2)_qCH_2OH$, $-(CH_2)_q-CHO$, $-(CH_2)_q-CO-G^3$, $-(CH_2)_q-CONG^1G^2$, or a heterocycle selected from $-(CH_2)_q-thiazolyl$, $-(CH_2)_q-oxazolyl$, $-(CH_2)_q-imidazolyl$, $-(CH_2)_q-triazolyl$, $-(CH_2)_q-1,2,4-oxadiazolyl$, $-(CH_2)_q-isoxazolyl$, $-(CH_2)_q-tetrazolyl$ and $-(CH_2)_q-pyrazolyl$;

wherein one of the ring nitrogen atoms of said $-(CH_2)_q-imidazolyl$, $-(CH_2)_q-triazolyl$ and $-(CH_2)_q-tetrazolyl$ may optionally be substituted by $(C_1-C_6)alkyl$ optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of hydrogen, $(C_1-C_6)alkyl$ optionally independently substituted with one or more halo atoms, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-CO_2G^3$, halo, nitro, cyano, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-OG^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)alkyl$, or $-(CH_2)_q-SO_2NG^1G^2$;

Q^5 is hydrogen or $(C_1-C_6)alkyl$ optionally independently substituted with one or more halo atoms;

Q^6 is a covalent bond, oxygen or sulfur;

Q^7 is hydrogen or $(C_1-C_6)alkyl$ optionally independently substituted with one or more halo atoms;

Q^8 and Q^9 are independently a covalent bond, oxygen, sulfur, NH or $N-(C_1-C_6)alkyl$;

Q^{10} is nitro, amino, $(C_2-C_9)heteroaryl$, $(C_2-C_9)heterocycloalkyl$, $(CH_2)_pOR^{11}$, $(CH_2)_qCO_2H$, $(CH_2)_qCOR^{13}$, $(CH_2)_qSO_2NR^{11}R^{12}$, $(CH_2)_q-NR^{11}SO_2R^{10}$, $(CH_2)_qP(O)(OR^8)(OR^9)$, $(CH_2)_q-O-(CH_2)_pCO_2H$, $(CH_2)_q-O-(CH_2)_pCOR^{13}$, $(CH_2)_q-O-(CH_2)_pP(O)(OR^8)(OR^9)$, $(CH_2)_q-O-(CH_2)_pSO_2NR^{11}R^{12}$, or $(CH_2)_q-O-(CH_2)_p-NR^{11}SO_2R^{10}$;

R^8 and R^9 are each independently hydrogen or $(C_1-C_6)alkyl$; and

wherein G¹ and G² for each occurrence are each independently hydrogen, (C₁-C₆)alkyl optionally independently substituted with one or more halo, (C₁-C₈)alkoxy(C₁-C₆)alkyl or (C₃-C₈)cycloalkyl, or G¹ and G² together with the nitrogen to which they are attached form a saturated heterocyclic ring having from 3 to 7 carbon atoms wherein one of said carbon atoms may optionally be replaced by oxygen, nitrogen or sulfur;

G³ for each occurrence is independently hydrogen or (C₁-C₆)alkyl;

R¹⁰ for each occurrence is independently (C₁-C₆)alkyl or (C₁-C₆)alkoxy(C₁-C₆)alkyl;

R¹¹ and R¹² are taken separately and, for each occurrence, are independently hydrogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, or (C₁-C₆)alkoxy(C₁-C₆)alkyl, or

R¹¹ and R¹² are taken together with the nitrogen atom to which they are attached and form a pyrrolidine, piperidine or morpholine ring wherein said pyrrolidine, piperidine or morpholine may optionally be substituted at any carbon atom by (C₁-C₄)alkyl or (C₁-C₄)alkoxy;

R¹³ for each occurrence is independently hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, NR¹¹R¹², (C₃-C₈)cycloalkyl, or (C₁-C₆)alkoxy(C₁-C₆)alkyl wherein R¹¹ and R¹² are as defined above;

R¹⁴ and R¹⁵ are each independently hydrogen, halo, (C₁-C₆)alkyl, nitro, cyano, trifluoromethyl, SO₂R¹⁰, SO₂NR¹¹R¹², NR¹¹R¹², COR¹³, CO₂R¹¹, (C₁-C₆)alkoxy, NR¹¹SO₂R¹⁰, NR¹¹COR¹³, NR¹¹CO₂R¹¹ or OR¹¹;

p for each occurrence is independently an integer of 1 to 6; and

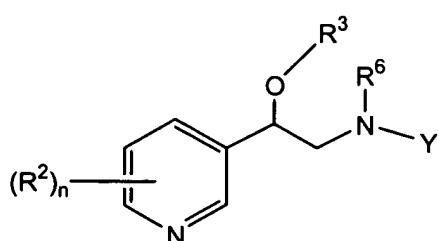
q for each occurrence is independently 0 or an integer of 1 to 6;

with the proviso that when Q⁹ is O or S then n is not 0;

with the proviso that when Q¹ is oxygen or sulfur then Q³ is absent; and

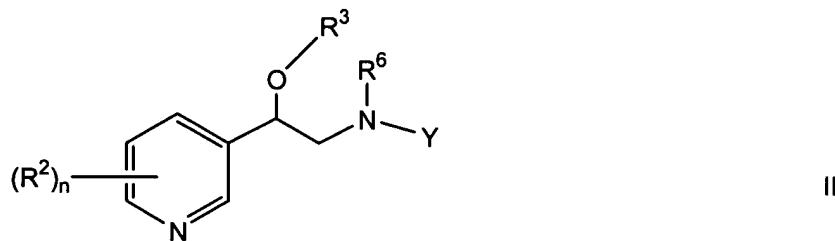
with the proviso that when Q² is nitrogen then Q⁵ is absent;

comprising reacting a compound of the formula

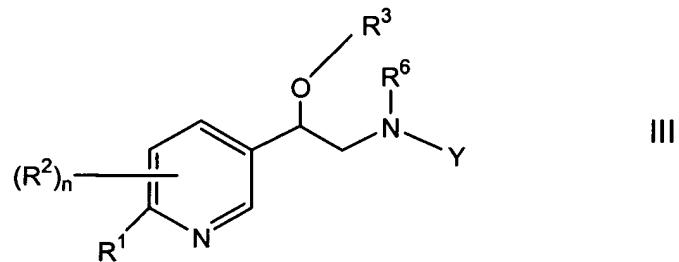


wherein n, R², R⁶ and Y are as defined above; and R³ is tetrahydrofuryl, tetrahydropyranyl or a silyl protecting group; with tetra-n-butylammonium fluoride.

19(original). A process according to claim 18, wherein the compound of the formula

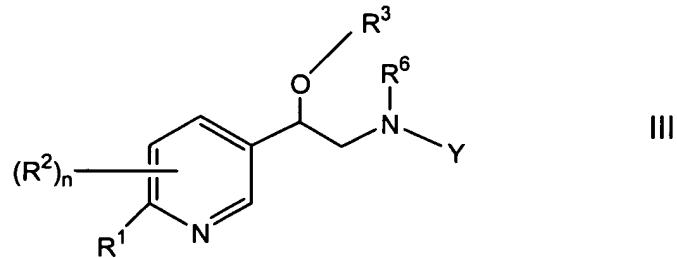


wherein n, R², R³, R⁶ and Y are as defined above, is formed by treating a compound of the formula

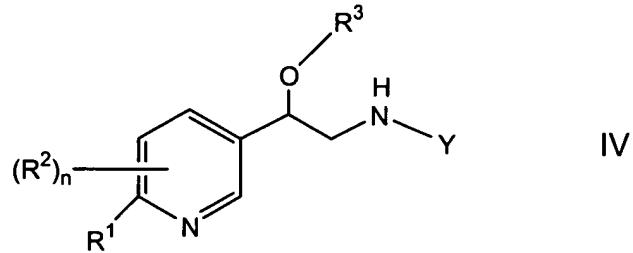


wherein R¹ is halo and wherein n, R², R³, R⁶ and Y are as defined above, with ammonium formate in the presence of palladium on carbon.

20(original). A process according to claim 19, wherein the compound of the formula



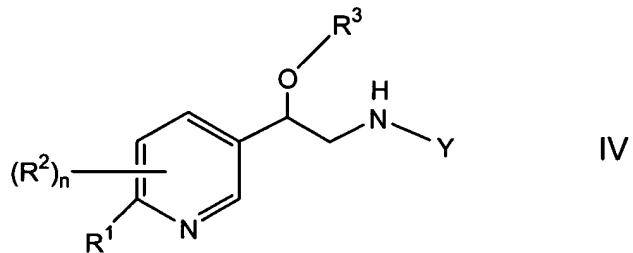
is formed by reacting the compound



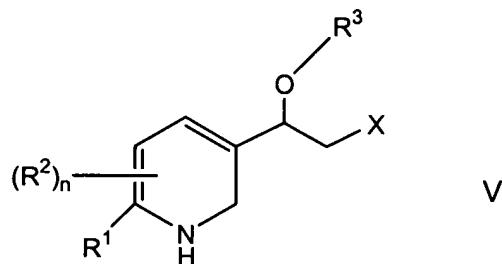
wherein R¹ is hydrogen or halo and wherein n, R², R³ and Y are as defined above with an organic acid anhydride, a dicarbonate or an organic acid chloride.

21(original). A process according to claim 20, wherein the dicarbonate is di-tert-butyl dicarbonate

22(original). A process according to claim 20, wherein the compound

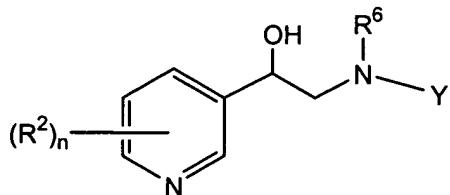


is formed by reacting the compound



wherein n, R¹, R², R³ and X are as defined above, with an amine of the formula H₂NY, wherein Y is as defined above, in the presence of N,N-diisopropylethylamine.

23(original). A process for preparing a compound of the formula



wherein n is 0, 1, 2 or 3;

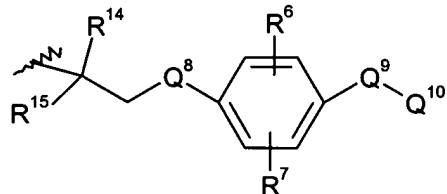
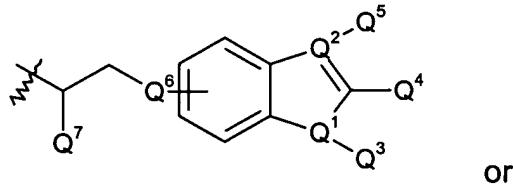
each R² is independently hydrogen, halo, trifluoromethyl, cyano, SR⁴, OR⁴, SO₂R⁴, OCOR⁵, or (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, N(R⁴)₂, SR⁴, trifluoromethyl, OR⁴, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, NR⁴COR⁵, COR⁵, SO₂R⁵, OCOR⁵, NR⁴SO₂R⁵ and NR⁴CO₂R⁴;

R⁴ and R⁵, for each occurrence, are each independently selected from hydrogen, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocycloalkyl, (C₂-C₉)heteroaryl or (C₁-C₆)aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, (C₁-C₁₀)alkyl-CO₂, (C₁-C₁₀)alkylsulfonyl, (C₃-C₈)cycloalkyl, (C₁-C₁₀)alkoxy, or (C₁-C₆)alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, ((C₁-C₆)alkyl)₂amino, pyrrolidine, piperidine, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₁-C₁₀)alkylthio and (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, (C₁-C₆)alkyl-CO₂, (C₁-C₆)alkylsulfonyl, (C₃-C₈)cycloalkyl and (C₁-C₆)alkoxy;

or R⁵ is N(R⁴)₂ wherein R⁴ is as defined above;

R⁶ is COR⁷ or CO₂R⁷ wherein R⁷ is (C₁-C₈)alkyl; and

Y is



wherein:

Q^1 is oxygen, nitrogen or sulfur;

Q^2 is carbon or nitrogen;

Q^3 is hydrogen, $-(CH_2)_q$ -phenyl, $-(C_1-C_{10})$ alkyl, $-(CH_2)_q-NG^1G^2$, $-(CH_2)_q-CO_2G^3$, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-OG^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)$ alkyl, $-(CH_2)_q-SO_2NG^1G^2$, or a heterocycle selected from the group consisting of $-(CH_2)_q$ -pyridyl, $-(CH_2)_q$ -pyrimidyl, $-(CH_2)_q$ -pyraziqyl, $-(CH_2)_q$ -isoxazolyl, $-(CH_2)_q$ -oxazolyl, $-(CH_2)_q$ -thiazolyl, $-(CH_2)_q$ -(1,2,4-oxadiazolyl), $-(CH_2)_q$ -imidazolyl, $-(CH_2)_q$ -triazolyl and $-(CH_2)_q$ -tetrazolyl;

wherein one of the ring nitrogen atoms of said $-(CH_2)_q$ -imidazolyl, $-(CH_2)_q$ -triazolyl and $-(CH_2)_q$ -tetrazolyl may optionally be substituted by (C_1-C_8) alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of (C_1-C_8) alkyl optionally independently substituted with one or more halo atoms, halo, nitro, cyano, $-(CH_2)_q-NG^1G^2$, $-(CH_2)_q-CO_2G^3$, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-OG^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)$ alkyl and $-(CH_2)_q-SO_2NG^1G^2$;

wherein the phenyl moiety of said $-(CH_2)_q$ -phenyl may optionally be substituted with one or more substituents independently selected from the group consisting of (C_1-C_6) alkyl optionally independently substituted with one or more

halo atoms, hydroxy, (C₁-C₆)alkoxy optionally independently substituted with one or more halo atoms, (C₁-C₆)alkylthio, fluoro, chloro, bromo, iodo, cyano, nitro, -(CH₂)_q-NG¹G², -(CH₂)_q-CO₂G³, -(CH₂)_q-CO-NG¹G², -(CH₂)_q-OG³, -(CH₂)_q-SO₃G³, -(CH₂)_q-SO₂-(C₁-C₆)alkyl, -(CH₂)_q-SO₂NG¹G²; -(CH₂)_q-NG³-SO₂G³ and -(CH₂)_q-NG³-SO₂-NG¹G²;

Q⁴ is -(CH₂)_q-CN, -(CH₂)_qCO₂G³, -(CH₂)_q-SO₃G³, -(CH₂)_q-SO₂-(C₁-C₆)alkyl, -(CH₂)_q-SO₂NG¹G², -(CH₂)_qCH₂OH, -(CH₂)_q-CHO, -(CH₂)_q-CO-G³, -(CH₂)_q-CONG¹G², or a heterocycle selected from -(CH₂)_q-thiazolyl, -(CH₂)_q-oxazolyl, -(CH₂)_q-imidazolyl, -(CH₂)_q-triazolyl, -(CH₂)_q-1,2,4-oxadiazolyl, -(CH₂)_q-isoxazolyl, -(CH₂)_q-tetrazolyl and -(CH₂)_q-pyrazolyl;

wherein one of the ring nitrogen atoms of said -(CH₂)_q-imidazolyl, -(CH₂)_q-triazolyl and -(CH₂)_q-tetrazolyl may optionally be substituted by (C₁-C₆)alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl optionally independently substituted with one or more halo atoms, -(CH₂)_q-CO-NG¹G², -(CH₂)_q-CO₂G³, halo, nitro, cyano, -(CH₂)_q-CO-NG¹G², -(CH₂)_q-OG³, -(CH₂)_q-SO₃G³, -(CH₂)_q-SO₂-(C₁-C₆)alkyl, or -(CH₂)_q-SO₂NG¹G²;

Q⁵ is hydrogen or (C₁-C₆)alkyl optionally independently substituted with one or more halo atoms;

Q⁶ is a covalent bond, oxygen or sulfur;

Q⁷ is hydrogen or (C₁-C₆)alkyl optionally independently substituted with one or more halo atoms;

Q⁸ and Q⁹ are independently a covalent bond, oxygen, sulfur, NH or N-(C₁-C₆)alkyl;

Q¹⁰ is nitro, amino, (C₂-C₉)heteroaryl, (C₂-C₉)heterocycloalkyl, (CH₂)_pOR¹¹, (CH₂)_qCO₂H, (CH₂)_qCOR¹³, (CH₂)_qSO₂NR¹¹R¹², (CH₂)_q-NR¹¹SO₂R¹⁰, (CH₂)_qP(O)(OR⁸)(OR⁹), (CH₂)_q-O-(CH₂)_pCO₂H, (CH₂)_q-O-(CH₂)_pCOR¹³, (CH₂)_q-O-(CH₂)_pP(O)(OR⁸)(OR⁹), (CH₂)_q-O-(CH₂)_pSO₂NR¹¹R¹², or

$(CH_2)_q-O-(CH_2)_p-NR^{11}SO_2R^{10}$;

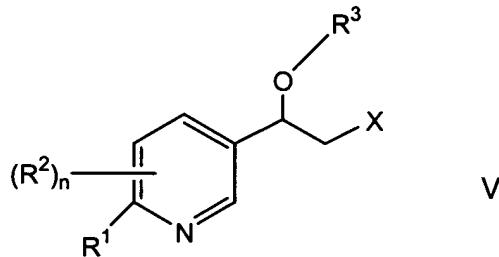
R⁸ and R⁹ are each independently hydrogen or (C₁-C₆)alkyl; and
 wherein G¹ and G² for each occurrence are each independently hydrogen,
 (C₁-C₆)alkyl optionally independently substituted with one or more halo,
 (C₁-C₈)alkoxy(C₁-C₆)alkyl or (C₃-C₈)cycloalkyl, or G¹ and G² together with the
 nitrogen to which they are attached form a saturated heterocyclic ring having
 from 3 to 7 carbon atoms wherein one of said carbon atoms may optionally be
 replaced by oxygen, nitrogen or sulfur;

G³ for each occurrence is independently hydrogen or (C₁-C₆)alkyl;
 R¹⁰ for each occurrence is independently (C₁-C₆)alkyl or
 (C₁-C₆)alkoxy(C₁-C₆)alkyl;
 R¹¹ and R¹² are taken separately and, for each occurrence, are
 independently
 hydrogen, (C₁-C₆)alkyl, (C₃-C₈)cycloalkyl, or (C₁-C₆)alkoxy(C₁-C₆)alkyl, or
 R¹¹ and R¹² are taken together with the nitrogen atom to which they are
 attached and form a pyrrolidine, piperidine or morpholine ring wherein said
 pyrrolidine, piperidine or morpholine may optionally be substituted at any carbon
 atom by (C₁-C₄)alkyl or (C₁-C₄)alkoxy;

R¹³ for each occurrence is independently hydrogen, (C₁-C₆)alkyl,
 (C₁-C₆)alkoxy, NR¹¹R¹², (C₃-C₈)cycloalkyl, or (C₁-C₆)alkoxy(C₁-C₆)alkyl wherein
 R¹¹ and R¹² are as defined above;

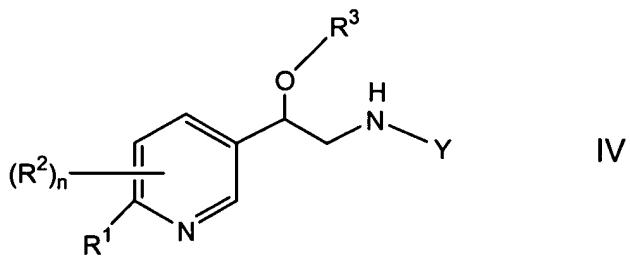
R¹⁴ and R¹⁵ are each independently hydrogen, halo, (C₁-C₆)alkyl, nitro,
 cyano, trifluoromethyl, SO₂R¹⁰, SO₂NR¹¹R¹², NR¹¹R¹², COR¹³, CO₂R¹¹,
 (C₁-C₆)alkoxy, NR¹¹SO₂R¹⁰, NR¹¹COR¹³, NR¹¹CO₂R¹¹ or OR¹¹;

p for each occurrence is independently an integer of 1 to 6; and
 q for each occurrence is independently 0 or an integer of 1 to 6;
 with the proviso that when Q⁹ is O or S then n is not 0;
 with the proviso that when Q¹ is oxygen or sulfur then Q³ is absent; and
 with the proviso that when Q² is nitrogen then Q⁵ is absent;
 comprising (a) reacting a compound of the formula

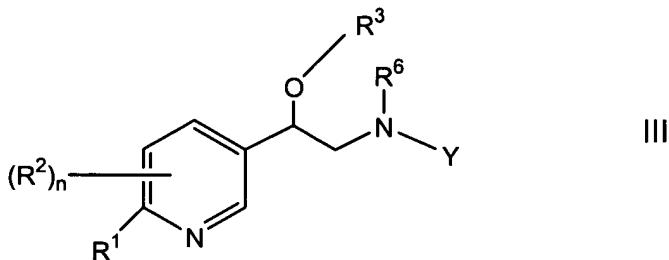


wherein R^1 is hydrogen or halo, and n , R^1 , R^2 , R^3 and X are as defined above, with an amine of the formula H_2NY , wherein Y is as defined above in the presence of N,N -diisopropylethylamine;

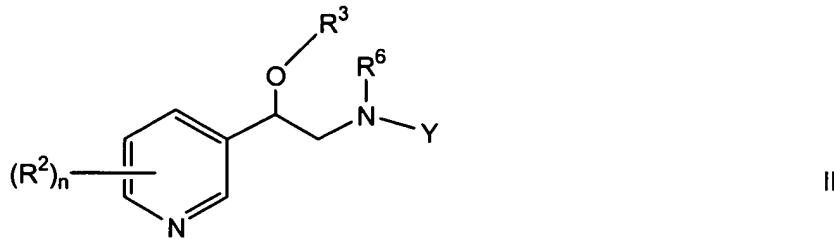
(b) reacting the compound of formula IV so formed



wherein R^1 is hydrogen or halo and wherein n , R^2 , R^3 and Y are as defined above with an organic acid anhydride, a dicarbonate or an organic acid chloride, to form a compound of the formula



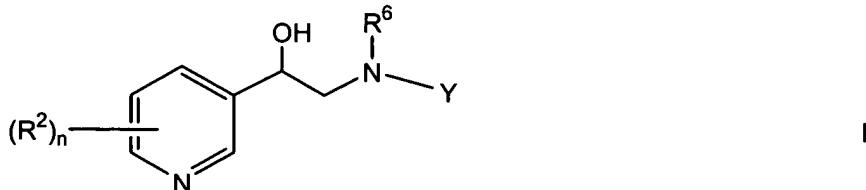
(c) treating the compound of formula III, wherein R^1 is halo, so formed in step (b) with ammonium formate in the presence of palladium-on-carbon to form the compound of the formula



wherein n, R², R³, R⁶ and Y are as defined above, and

(d) treating the compound of formula II so formed with tetra-n-butylammonium fluoride.

24(original). A process for preparing a compound of the formula



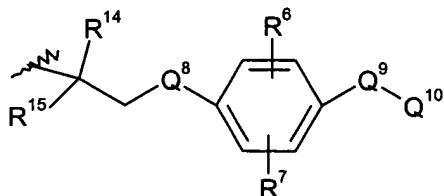
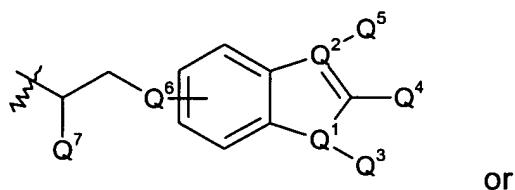
wherein n is 0, 1, 2 or 3;

each R² is independently hydrogen, halo, trifluoromethyl, cyano, SR⁴, OR⁴, SO₂R⁴, OCOR⁵, or (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, N(R⁴)₂, SR⁴, trifluoromethyl, OR⁴, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, NR⁴COR⁵, COR⁵, SO₂R⁵, OCOR⁵, NR⁴SO₂R⁵ and NR⁴CO₂R⁴;

R⁴ and R⁵, for each occurrence, are each independently selected from hydrogen, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocycloalkyl, (C₂-C₉)heteroaryl or (C₁-C₆)aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, (C₁-C₁₀)alkyl-CO₂, (C₁-C₁₀)alkylsulfonyl, (C₃-C₈)cycloalkyl, (C₁-C₁₀)alkoxy, or (C₁-C₆)alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, ((C₁-C₆)alkyl)₂amino, pyrrolidine, piperidine, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy,

(C₁-C₁₀)alkylthio and (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, (C₁-C₆)alkyl-CO₂, (C₁-C₆)alkylsulfonyl, (C₃-C₈)cycloalkyl and (C₁-C₆)alkoxy; or R⁵ is N(R⁴)₂ wherein R⁴ is as defined above; R⁶ is COR⁷ or CO₂R⁷ wherein R⁷ is (C₁-C₈)alkyl; and

Y is



wherein:

Q¹ is oxygen, nitrogen or sulfur;
 Q² is carbon or nitrogen;
 Q³ is hydrogen, -(CH₂)_q-phenyl, -(C₁-C₁₀)alkyl, -(CH₂)_q-NG¹G², -(CH₂)_q-CO₂G³, -(CH₂)_q-CO-NG¹G², -(CH₂)_q-OG³, -(CH₂)_q-SO₃G³, -(CH₂)_q-SO₂-(C₁-C₆)alkyl, -(CH₂)_q-SO₂NG¹G², or a heterocycle selected from the group consisting of -(CH₂)_q-pyridyl, -(CH₂)_q-pyrimidyl, -(CH₂)_q-pyraziqyl, -(CH₂)_q-isoxazolyl, -(CH₂)_q-oxazolyl, -(CH₂)_q-thiazolyl, -(CH₂)_q-(1,2,4-oxadiazolyl), -(CH₂)_q-imidazolyl, -(CH₂)_q-triazolyl and -(CH₂)_q-tetrazolyl; wherein one of the ring nitrogen atoms of said -(CH₂)_q-imidazolyl, -(CH₂)_q-triazolyl and -(CH₂)_q-tetrazolyl may optionally be substituted by (C₁-C₈)alkyl optionally independently substituted with one or more halo atoms; wherein each of said heterocycles may optionally be substituted on one or

more of the ring carbon atoms by one or more substituents independently selected from the group consisting of (C₁-C₈)alkyl optionally independently substituted with one or more halo atoms, nitro, cyano, -(CH₂)_q-NG¹G², -(CH₂)_q-CO₂G³, -(CH₂)_q-CO-NG¹G², -(CH₂)_q-OG³, -(CH₂)_q-SO₃G³, -(CH₂)_q-SO₂-(C₁-C₆)alkyl and -(CH₂)_q-SO₂NG¹G²;

wherein the phenyl moiety of said -(CH₂)_q-phenyl may optionally be substituted with one or more substituents independently selected from the group consisting of (C₁-C₆)alkyl optionally independently substituted with one or more halo atoms, hydroxy, (C₁-C₆)alkoxy optionally independently substituted with one or more halo atoms, (C₁-C₆)alkylthio, fluoro, chloro, bromo, iodo, cyano, nitro, -(CH₂)_q-NG¹G², -(CH₂)_q-CO₂G³, -(CH₂)_q-CO-NG¹G², -(CH₂)_q-OG³, -(CH₂)_q-SO₃G³, -(CH₂)_q-SO₂-(C₁-C₆)alkyl, -(CH₂)_q-SO₂NG¹G²; -(CH₂)_q-NG³-SO₂-G³ and -(CH₂)_q-NG³-SO₂-NG¹G²;

Q⁴ is -(CH₂)_q-CN, -(CH₂)_qCO₂G³, -(CH₂)_q-SO₃G³, -(CH₂)_q-SO₂-(C₁-C₆)alkyl, -(CH₂)_q-SO₂NG¹G², -(CH₂)_qCH₂OH, -(CH₂)_q-CHO, -(CH₂)_q-CO-G³, -(CH₂)_q-CONG¹G², or a heterocycle selected from -(CH₂)_q-thiazolyl, -(CH₂)_q-oxazolyl, -(CH₂)_q-imidazolyl, -(CH₂)_q-triazolyl, -(CH₂)_q-1,2,4-oxadiazolyl, -(CH₂)_q-isoxazolyl, -(CH₂)_q-tetrazolyl and -(CH₂)_q-pyrazolyl;

wherein one of the ring nitrogen atoms of said -(CH₂)_q-imidazolyl, -(CH₂)_q-triazolyl and -(CH₂)_q-tetrazolyl may optionally be substituted by (C₁-C₆)alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl optionally independently substituted with one or more halo atoms, -(CH₂)_q-CO-NG¹G², -(CH₂)_q-CO₂G³, halo, nitro, cyano, -(CH₂)_q-CO-NG¹G², -(CH₂)_q-OG³, -(CH₂)_q-SO₃G³, -(CH₂)_q-SO₂-(C₁-C₆)alkyl, or -(CH₂)_q-SO₂NG¹G²;

Q⁵ is hydrogen or (C₁-C₆)alkyl optionally independently substituted with one or more halo atoms;

Q⁶ is a covalent bond, oxygen or sulfur;

Q^7 is hydrogen or (C_1 - C_6)alkyl optionally independently substituted with one or more halo atoms;

Q^8 and Q^9 are independently a covalent bond, oxygen, sulfur, NH or N-(C_1 - C_6)alkyl;

Q^{10} is nitro, amino, (C_2 - C_9)heteroaryl, (C_2 - C_9)heterocycloalkyl, (CH_2) $_p$ OR¹¹, (CH_2) $_q$ CO₂H, (CH_2) $_q$ COR¹³, (CH_2) $_q$ SO₂NR¹¹R¹², (CH_2) $_q$ -NR¹¹SO₂R¹⁰, (CH_2) $_q$ P(O)(OR⁸)(OR⁹), (CH_2) $_q$ -O-(CH_2) $_p$ CO₂H, (CH_2) $_q$ -O-(CH_2) $_p$ COR¹³, (CH_2) $_q$ -O-(CH_2) $_p$ P(O)(OR⁸)(OR⁹), (CH_2) $_q$ -O-(CH_2) $_p$ SO₂NR¹¹R¹², or (CH_2) $_q$ -O-(CH_2) $_p$ -NR¹¹SO₂R¹⁰;

R^8 and R^9 are each independently hydrogen or (C_1 - C_6)alkyl; and wherein G^1 and G^2 for each occurrence are each independently hydrogen, (C_1 - C_6)alkyl optionally independently substituted with one or more halo, (C_1 - C_8)alkoxy(C_1 - C_6)alkyl or (C_3 - C_8)cycloalkyl, or G^1 and G^2 together with the nitrogen to which they are attached form a saturated heterocyclic ring having from 3 to 7 carbon atoms wherein one of said carbon atoms may optionally be replaced by oxygen, nitrogen or sulfur;

G^3 for each occurrence is independently hydrogen or (C_1 - C_6)alkyl;
 R^{10} for each occurrence is independently (C_1 - C_6)alkyl or (C_1 - C_6)alkoxy(C_1 - C_6)alkyl;

R^{11} and R^{12} are taken separately and, for each occurrence, are independently hydrogen, (C_1 - C_6)alkyl, (C_3 - C_8)cycloalkyl, or (C_1 - C_6)alkoxy(C_1 - C_6)alkyl, or

R^{11} and R^{12} are taken together with the nitrogen atom to which they are attached and form a pyrrolidine, piperidine or morpholine ring wherein said pyrrolidine, piperidine or morpholine may optionally be substituted at any carbon atom by (C_1 - C_4)alkyl or (C_1 - C_4)alkoxy;

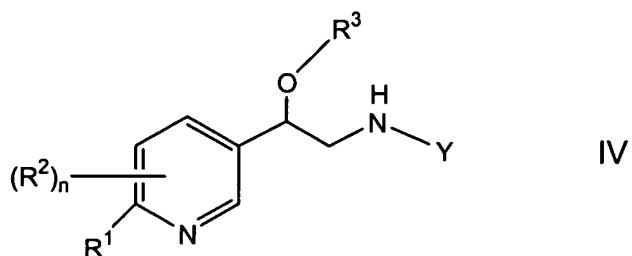
R^{13} for each occurrence is independently hydrogen, (C_1 - C_6)alkyl, (C_1 - C_6)alkoxy, NR¹¹R¹², (C_3 - C_8)cycloalkyl, or (C_1 - C_6)alkoxy(C_1 - C_6)alkyl wherein R^{11} and R^{12} are as defined above;

R^{14} and R^{15} are each independently hydrogen, halo, (C_1 - C_6)alkyl, nitro, cyano, trifluoromethyl, SO₂R¹⁰, SO₂NR¹¹R¹², NR¹¹R¹², COR¹³, CO₂R¹¹,

(C₁-C₆)alkoxy, NR¹¹SO₂R¹⁰, NR¹¹COR¹³, NR¹¹CO₂R¹¹ or OR¹¹;

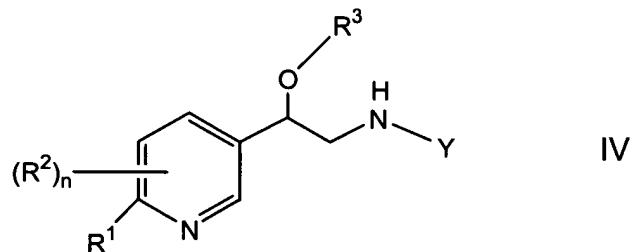
p for each occurrence is independently an integer of 1 to 6; and
q for each occurrence is independently 0 or an integer of 1 to 6;
with the proviso that when Q⁹ is O or S then n is not 0;
with the proviso that when Q¹ is oxygen or sulfur then Q³ is absent; and
with the proviso that when Q² is nitrogen then Q⁵ is absent;

comprising reacting a compound of the formula

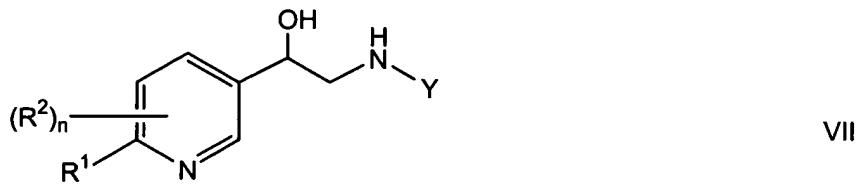


wherein R¹ is halo and wherein n, R², R³ and Y are as defined above, with ammonium formate in the presence of palladium-on-carbon.

25(original). A process according to claim 24, wherein the compound of the formula



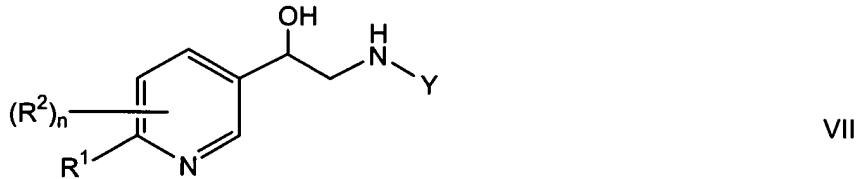
is formed by reacting a compound of the formula



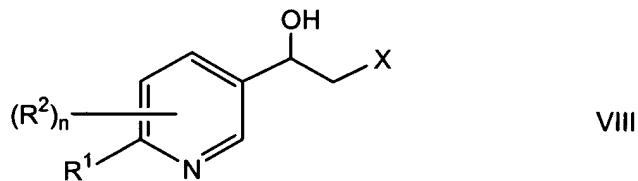
wherein R¹ is hydrogen or halo, and wherein n, R² and Y are as defined above, with an organic acid anhydride, a dicarbonate or an organic acid chloride.

26(original). A process according to claim 25, wherein the dicarbonate is di-tert-butyl dicarbonate.

27(original). A process according to claim 25, wherein the compound of the formula

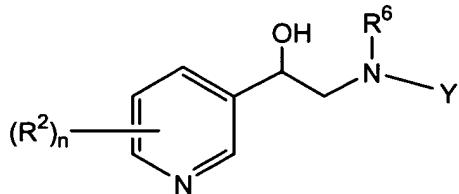


is formed by reacting the compound



wherein n, R¹, R² and X are as defined above, with an amine of the formula H₂NY, wherein Y is as defined above, in the presence of N,N-diisopropylethylamine.

28(original). A process for preparing a compound of the formula



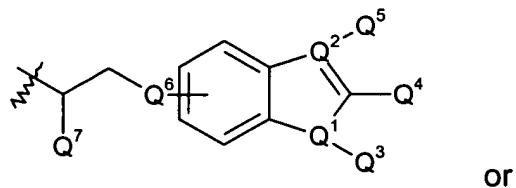
wherein n is 0, 1, 2 or 3;

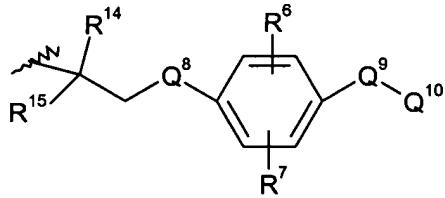
each R² is independently hydrogen, halo, trifluoromethyl, cyano, SR⁴, OR⁴, SO₂R⁴, OCOR⁵, or (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, N(R⁴)₂, SR⁴, trifluoromethyl, OR⁴, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, NR⁴COR⁵, COR⁵, SO₂R⁵, OCOR⁵, NR⁴SO₂R⁵ and NR⁴CO₂R⁴;

R⁴ and R⁵, for each occurrence, are each independently selected from hydrogen, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocycloalkyl, (C₂-C₉)heteroaryl or (C₁-C₆)aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, (C₁-C₁₀)alkyl-CO₂, (C₁-C₁₀)alkylsulfonyl, (C₃-C₈)cycloalkyl, (C₁-C₁₀)alkoxy, or (C₁-C₆)alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, ((C₁-C₆)alkyl)₂amino, pyrrolidine, piperidine, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₁-C₁₀)alkylthio and (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, (C₁-C₆)alkyl-CO₂, (C₁-C₆)alkylsulfonyl, (C₃-C₈)cycloalkyl and (C₁-C₆)alkoxy; or R⁵ is N(R⁴)₂ wherein R⁴ is as defined above;

R⁶ is COR⁷ or CO₂R⁷ wherein R⁷ is (C₁-C₈)alkyl; and

Y is





wherein:

Q^1 is oxygen, nitrogen or sulfur;

Q^2 is carbon or nitrogen;

Q^3 is hydrogen, $-(CH_2)_q$ -phenyl, $-(C_1-C_{10})$ alkyl, $-(CH_2)_q$ - NG^1G^2 , $-(CH_2)_q$ - CO_2G^3 , $-(CH_2)_q$ - $CO-NG^1G^2$, $-(CH_2)_q$ - OG^3 , $-(CH_2)_q$ - SO_3G^3 , $-(CH_2)_q$ - $SO_2-(C_1-C_6)$ alkyl, $-(CH_2)_q$ - $SO_2NG^1G^2$, or a heterocycle selected from the group consisting of $-(CH_2)_q$ -pyridyl, $-(CH_2)_q$ -pyrimidyl, $-(CH_2)_q$ -pyraziqyl, $-(CH_2)_q$ -isoxazolyl, $-(CH_2)_q$ -oxazolyl, $-(CH_2)_q$ -thiazolyl, $-(CH_2)_q$ - $(1,2,4$ -oxadiazolyl), $-(CH_2)_q$ -imidazolyl, $-(CH_2)_q$ -triazolyl and $-(CH_2)_q$ -tetrazolyl;

wherein one of the ring nitrogen atoms of said $-(CH_2)_q$ -imidazolyl, $-(CH_2)_q$ -triazolyl and $-(CH_2)_q$ -tetrazolyl may optionally be substituted by (C_1-C_8) alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of (C_1-C_8) alkyl optionally independently substituted with one or more halo atoms, nitro, cyano, $-(CH_2)_q$ - NG^1G^2 , $-(CH_2)_q$ - CO_2G^3 , $-(CH_2)_q$ - $CO-NG^1G^2$, $-(CH_2)_q$ - OG^3 , $-(CH_2)_q$ - SO_3G^3 , $-(CH_2)_q$ - $SO_2-(C_1-C_6)$ alkyl and $-(CH_2)_q$ - $SO_2NG^1G^2$;

wherein the phenyl moiety of said $-(CH_2)_q$ -phenyl may optionally be substituted with one or more substituents independently selected from the group consisting of (C_1-C_6) alkyl optionally independently substituted with one or more halo atoms, hydroxy, (C_1-C_6) alkoxy optionally independently substituted with one or more halo atoms, (C_1-C_6) alkylthio, fluoro, chloro, bromo, iodo, cyano, nitro, $-(CH_2)_q$ - NG^1G^2 , $-(CH_2)_q$ - CO_2G^3 , $-(CH_2)_q$ - $CO-NG^1G^2$, $-(CH_2)_q$ - OG^3 , $-(CH_2)_q$ - SO_3G^3 , $-(CH_2)_q$ - $SO_2-(C_1-C_6)$ alkyl, $-(CH_2)_q$ - $SO_2NG^1G^2$; $-(CH_2)_q$ - $NG^3-SO_2-G^3$ and $-(CH_2)_q$ - $NG^3-SO_2-NG^1G^2$;

Q^4 is $-(CH_2)_q$ -CN, $-(CH_2)_q$ - CO_2G^3 , $-(CH_2)_q$ - SO_3G^3 ,

$-(CH_2)_q-SO_2-(C_1-C_6)alkyl$, $-(CH_2)_q-SO_2NG^1G^2$, $-(CH_2)_qCH_2OH$, $-(CH_2)_q-CHO$, $-(CH_2)_q-CO-G^3$, $-(CH_2)_q-CONG^1G^2$, or a heterocycle selected from $-(CH_2)_q-thiazolyl$, $-(CH_2)_q-oxazolyl$, $-(CH_2)_q-imidazolyl$, $-(CH_2)_q-triazolyl$, $-(CH_2)_q-1,2,4-oxadiazolyl$, $-(CH_2)_q-isoxazolyl$, $-(CH_2)_q-tetrazolyl$ and $-(CH_2)_q-pyrazolyl$;

wherein one of the ring nitrogen atoms of said $-(CH_2)_q-imidazolyl$, $-(CH_2)_q-triazolyl$ and $-(CH_2)_q-tetrazolyl$ may optionally be substituted by $(C_1-C_6)alkyl$ optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of hydrogen, $(C_1-C_6)alkyl$ optionally independently substituted with one or more halo atoms, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-CO_2G^3$, halo, nitro, cyano, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-OG^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)alkyl$, or $-(CH_2)_q-SO_2NG^1G^2$;

Q^5 is hydrogen or $(C_1-C_6)alkyl$ optionally independently substituted with one or more halo atoms;

Q^6 is a covalent bond, oxygen or sulfur;

Q^7 is hydrogen or $(C_1-C_6)alkyl$ optionally independently substituted with one or more halo atoms;

Q^8 and Q^9 are independently a covalent bond, oxygen, sulfur, NH or $N-(C_1-C_6)alkyl$;

Q^{10} is nitro, amino, $(C_2-C_9)heteroaryl$, $(C_2-C_9)heterocycloalkyl$, $(CH_2)_pOR^{11}$, $(CH_2)_qCO_2H$, $(CH_2)_qCOR^{13}$, $(CH_2)_qSO_2NR^{11}R^{12}$, $(CH_2)_q-NR^{11}SO_2R^{10}$, $(CH_2)_qP(O)(OR^8)(OR^9)$, $(CH_2)_q-O-(CH_2)_pCO_2H$, $(CH_2)_q-O-(CH_2)_pCOR^{13}$, $(CH_2)_q-O-(CH_2)_pP(O)(OR^8)(OR^9)$, $(CH_2)_q-O-(CH_2)_pSO_2NR^{11}R^{12}$, or $(CH_2)_q-O-(CH_2)_p-NR^{11}SO_2R^{10}$;

R^8 and R^9 are each independently hydrogen or $(C_1-C_6)alkyl$; and wherein G^1 and G^2 for each occurrence are each independently hydrogen, $(C_1-C_6)alkyl$ optionally independently substituted with one or more halo, $(C_1-C_8)alkoxy(C_1-C_6)alkyl$ or $(C_3-C_8)cycloalkyl$, or G^1 and G^2 together with the nitrogen to which they are attached form a saturated heterocyclic ring having

from 3 to 7 carbon atoms wherein one of said carbon atoms may optionally be replaced by oxygen, nitrogen or sulfur;

G^3 for each occurrence is independently hydrogen or (C_1-C_6) alkyl;

R^{10} for each occurrence is independently (C_1-C_6) alkyl or (C_1-C_6) alkoxy (C_1-C_6) alkyl;

R^{11} and R^{12} are taken separately and, for each occurrence, are independently

hydrogen, (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, or (C_1-C_6) alkoxy (C_1-C_6) alkyl, or

R^{11} and R^{12} are taken together with the nitrogen atom to which they are attached and form a pyrrolidine, piperidine or morpholine ring wherein said pyrrolidine, piperidine or morpholine may optionally be substituted at any carbon atom by (C_1-C_4) alkyl or (C_1-C_4) alkoxy;

R^{13} for each occurrence is independently hydrogen, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, $NR^{11}R^{12}$, (C_3-C_8) cycloalkyl, or (C_1-C_6) alkoxy (C_1-C_6) alkyl wherein R^{11} and R^{12} are as defined above;

R^{14} and R^{15} are each independently hydrogen, halo, (C_1-C_6) alkyl, nitro, cyano, trifluoromethyl, SO_2R^{10} , $SO_2NR^{11}R^{12}$, $NR^{11}R^{12}$, COR^{13} , CO_2R^{11} , (C_1-C_6) alkoxy, $NR^{11}SO_2R^{10}$, $NR^{11}COR^{13}$, $NR^{11}CO_2R^{11}$ or OR^{11} ;

p for each occurrence is independently an integer of 1 to 6; and

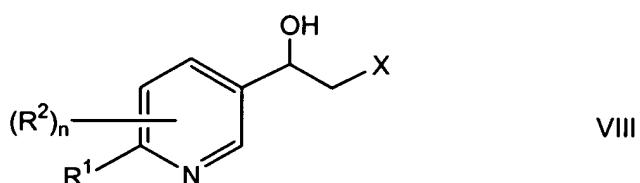
q for each occurrence is independently 0 or an integer of 1 to 6;

with the proviso that when Q^9 is O or S then n is not 0;

with the proviso that when Q^1 is oxygen or sulfur then Q^3 is absent; and

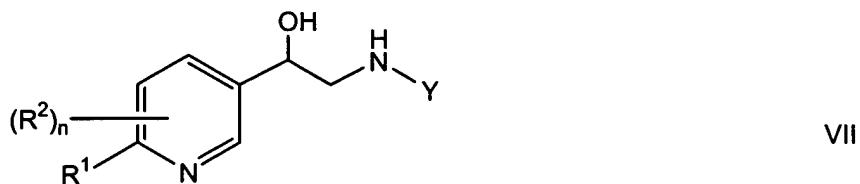
with the proviso that when Q^2 is nitrogen then Q^5 is absent;

comprising (a) reacting the compound of a formula

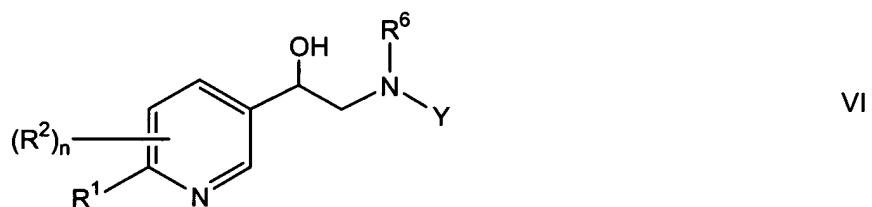


wherein R^1 is hydrogen or halo, and n, R^1 , R^2 , R^3 and X are as defined above, with an amine of the formula H_2NY , wherein Y is as defined above, in the presence of N,N-diisopropylethylamine;

(b) reacting the compound of the formula VII so formed



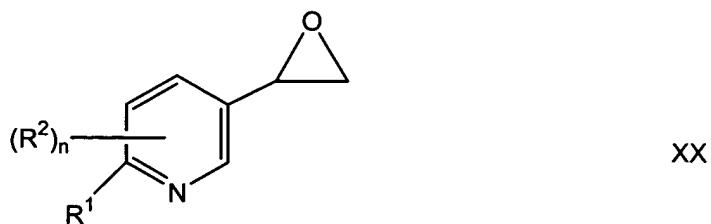
wherein R^1 is hydrogen or halo, and wherein n , R^2 and Y are as defined above with an organic acid anhydride, a dicarbonate or an organic acid chloride to form a compound of the formula



wherein n , R^1 , R^2 , R^6 and Y are as defined above and

(c) reacting the compound of formula VI, wherein R^1 is halo, so formed with ammonium formate in the presence of palladium-on-carbon.

29(original). A process for preparing a compound of the formula

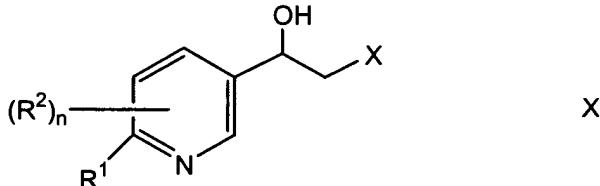


wherein n is 0, 1, 2 or 3;

R^1 is hydrogen or halo;

each R² is independently hydrogen, halo, trifluoromethyl, cyano, SR⁴, OR⁴, SO₂R⁴, OCOR⁵, or (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, N(R⁴)₂, SR⁴, trifluoromethyl, OR⁴, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, NR⁴COR⁵, COR⁵, SO₂R⁵, OCOR⁵, NR⁴SO₂R⁵ and NR⁴CO₂R⁴;

R⁴ and R⁵, for each occurrence, are each independently selected from hydrogen, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocycloalkyl, (C₂-C₉)heteroaryl or (C₁-C₆)aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, (C₁-C₁₀)alkyl-CO₂, (C₁-C₁₀)alkylsulfonyl, (C₃-C₈)cycloalkyl, (C₁-C₁₀)alkoxy, or (C₁-C₆)alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, ((C₁-C₆)alkyl)₂amino, pyrrolidine, piperidine, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₁-C₁₀)alkylthio and (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, (C₁-C₆)alkyl-CO₂, (C₁-C₆)alkylsulfonyl, (C₃-C₈)cycloalkyl and (C₁-C₆)alkoxy; or R⁵ is N(R⁴)₂ wherein R⁴ is as defined above; comprising reacting the compound of the formula

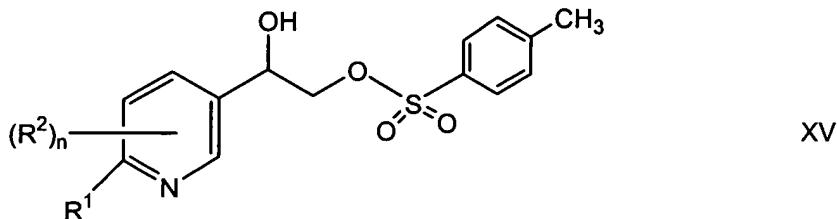


wherein n, R¹, R² and X are as defined above, with a non-nucleophilic base.

30(original). A process according to claim 29, wherein the non-nucleophilic base is sodium hydroxide, potassium hydroxide, sodium hydride, potassium tert-butoxide or 1,8-diazabicyclo[5.4.0]undec-7-ene.

31-33 (cancelled).

34(original). A compound of the formula



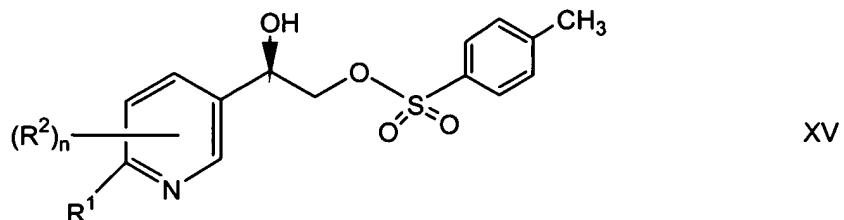
wherein n is 0, 1, 2 or 3;

R¹ is hydrogen or halo;

each R² is independently hydrogen, halo, trifluoromethyl, cyano, SR⁴, OR⁴, SO₂R⁴, OCOR⁵, or (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, N(R⁴)₂, SR⁴, trifluoromethyl, OR⁴, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, NR⁴COR⁵, COR⁵, SO₂R⁵, OCOR⁵, NR⁴SO₂R⁵ and NR⁴CO₂R⁴;

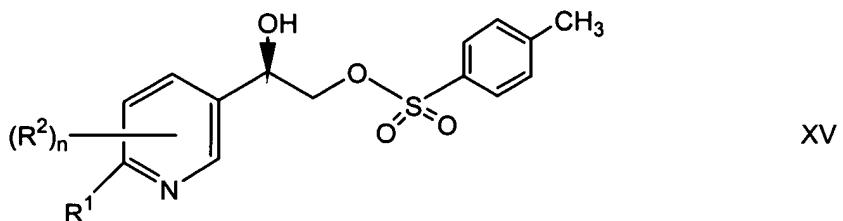
R⁴ and R⁵, for each occurrence, are each independently selected from hydrogen, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocycloalkyl, (C₂-C₉)heteroaryl or (C₁-C₆)aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, (C₁-C₁₀)alkyl-CO₂, (C₁-C₁₀)alkylsulfonyl, (C₃-C₈)cycloalkyl, (C₁-C₁₀)alkoxy, or (C₁-C₆)alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, ((C₁-C₆)alkyl)₂amino, pyrrolidine, piperidine, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₁-C₁₀)alkylthio and (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, (C₁-C₆)alkyl-CO₂, (C₁-C₆)alkylsulfonyl, (C₃-C₈)cycloalkyl and (C₁-C₆)alkoxy; or R⁵ is N(R⁴)₂ wherein R⁴ is as defined above.

35(original). A compound according to claim 34, wherein the compound of formula XI is the R enantiomer



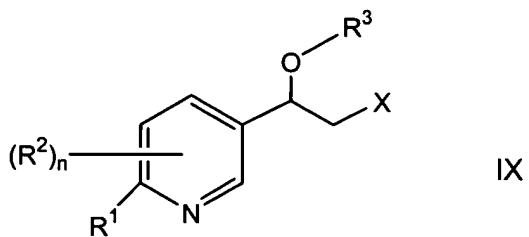
wherein R¹ is chloro and R² is hydrogen.

36(original). A compound according to claim 34, wherein the compound of formula XI is the R enantiomer



wherein R¹ and R² are hydrogen.

37(original). A compound of the formula

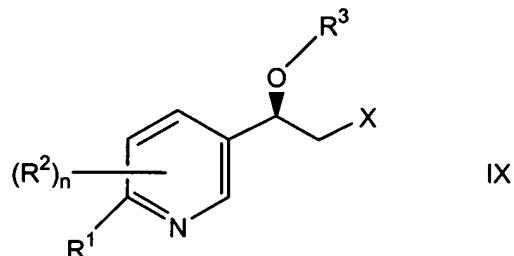


wherein n is 0, 1, 2 or 3;

R¹ is hydrogen or halo;
each R² is independently hydrogen, halo, trifluoromethyl, cyano, SR⁴, OR⁴, SO₂R⁴, OCOR⁵, or (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, N(R⁴)₂, SR⁴, trifluoromethyl, OR⁴, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, NR⁴COR⁵, COR⁵, SO₂R⁵, OCOR⁵, NR⁴SO₂R⁵ and NR⁴CO₂R⁴;

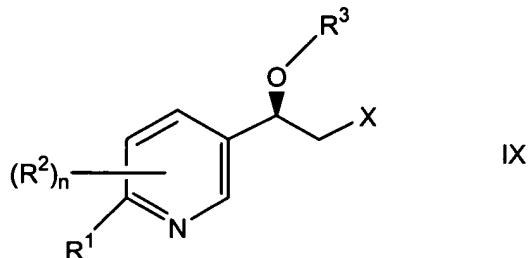
R^3 is tetrahydrofuryl, tetrahydropyranyl or a silyl protecting group;
 X is halo, methanesulfonyloxy, benzenesulfonyloxy, p-toluenesulfonyloxy,
m-nitrobenzenesulfonyloxy or p-nitrobenzenesulfonyloxy;
 R^4 and R^5 , for each occurrence, are each independently selected from
hydrogen, (C_1 - C_{10})alkyl, (C_1 - C_{10})alkoxy, (C_3 - C_8)cycloalkyl, (C_6 - C_{10})aryl,
(C_2 - C_9)heterocycloalkyl, (C_2 - C_9)heteroaryl or (C_1 - C_6)aryl wherein the alkyl group
is optionally substituted by the group consisting of hydroxy, halo, carboxy,
(C_1 - C_{10})alkyl-CO₂, (C_1 - C_{10})alkylsulfonyl, (C_3 - C_8)cycloalkyl, (C_1 - C_{10})alkoxy, or
(C_1 - C_6)alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are
optionally substituted by one to four groups consisting of halo, nitro, oxo,
($(C_1$ - C_6)alkyl)₂amino, pyrrolidine, piperidine, (C_1 - C_{10})alkyl, (C_1 - C_{10})alkoxy,
(C_1 - C_{10})alkylthio and (C_1 - C_{10})alkyl wherein the alkyl group is optionally
substituted by one to four groups selected from hydroxy, halo, carboxy,
(C_1 - C_6)alkyl-CO₂, (C_1 - C_6)alkylsulfonyl, (C_3 - C_8)cycloalkyl and (C_1 - C_6)alkoxy;
or R^5 is N(R^4)₂ wherein R^4 is as defined above.

38(original). A compound according to claim 37, wherein the compound
of formula IX is the R enantiomer



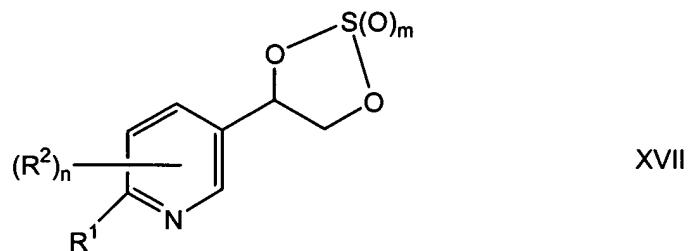
wherein R^1 is chloro; R^2 is hydrogen; R^3 is tert-butyldimethylsilyl; and X is p-toluenesulfonyloxy.

39(original). A compound according to claim 37, wherein the compound of formula IX is the R enantiomer



wherein R¹ and R² are hydrogen.

40(original). A compound of the formula



wherein n is 0, 1, 2 or 3;

m is 1 or 2;

R¹ is hydrogen or halo;

each R² is independently hydrogen, nitro, halo, trifluoromethyl, cyano, SR⁴, OR⁴, SO₂R⁴, OCOR⁵, or (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, N(R⁴)₂, SR⁴, trifluoromethyl, OR⁴, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, NR⁴COR⁵, COR⁵, SO₂R⁵, OCOR⁵, NR⁴SO₂R⁵ and NR⁴CO₂R⁴;

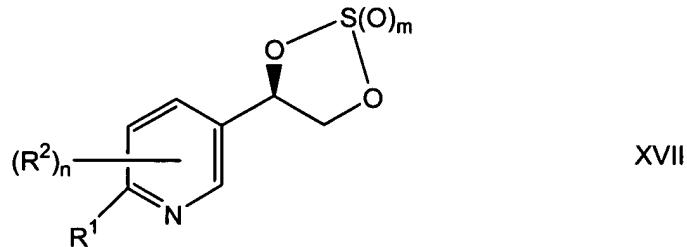
R⁴ and R⁵, for each occurrence, are each independently selected from hydrogen, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₃-C₈)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocycloalkyl, (C₂-C₉)heteroaryl or (C₁-C₆)aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, (C₁-C₁₀)alkyl-CO₂, (C₁-C₁₀)alkylsulfonyl, (C₃-C₈)cycloalkyl, (C₁-C₁₀)alkoxy, or

(C₁-C₆)alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, ((C₁-C₆)alkyl)₂amino, pyrrolidine, piperidine, (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₁-C₁₀)alkylthio and (C₁-C₁₀)alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, (C₁-C₆)alkyl-CO₂, (C₁-C₆)alkylsulfonyl, (C₃-C₈)cycloalkyl and (C₁-C₆)alkoxy; or R⁵ is N(R⁴)₂ wherein R⁴ is as defined above.

41(original). A compound according to claim 40, wherein m is 2, R¹ is chloro, and R² is hydrogen.

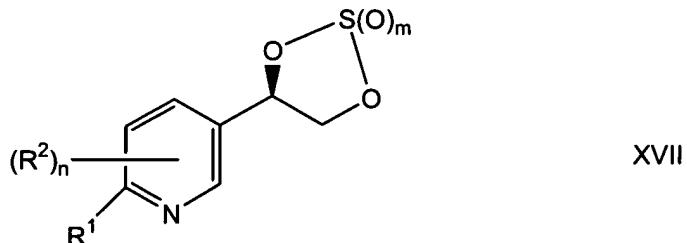
42(original). A compound according to claim 40, wherein m is 2 and R² and R³ are hydrogen.

43(original). A compound according to claim 40, wherein the compound of formula XVII is the R enantiomer



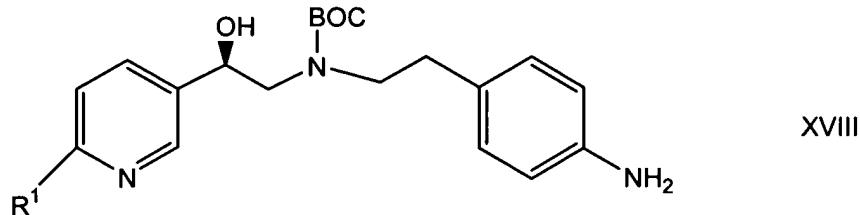
wherein m is 2 and R¹ and R² are hydrogen.

44(original). A compound according to claim 40, wherein the compound of formula XVII is the R enantiomer



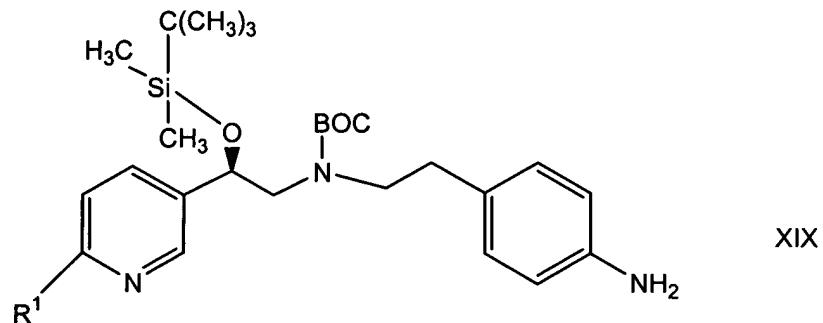
wherein m is 2, R¹ is chloro and R² are hydrogen.

45(original). A compound of the formula



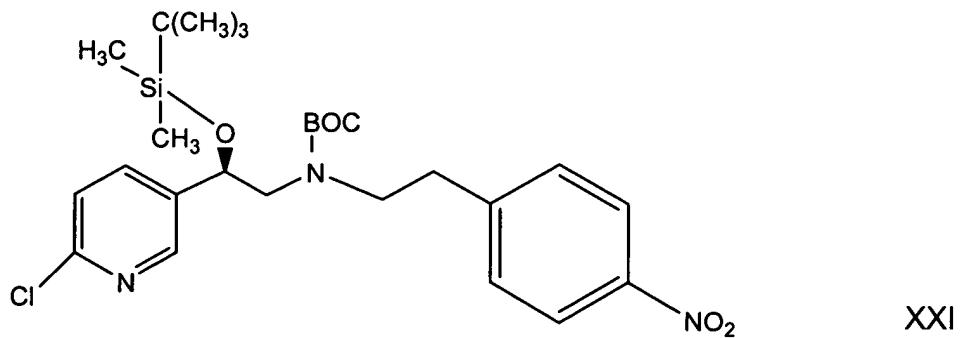
wherein R¹ is hydrogen or chloro and BOC is tert-butoxycarbonyl.

46(original). A compound of the formula



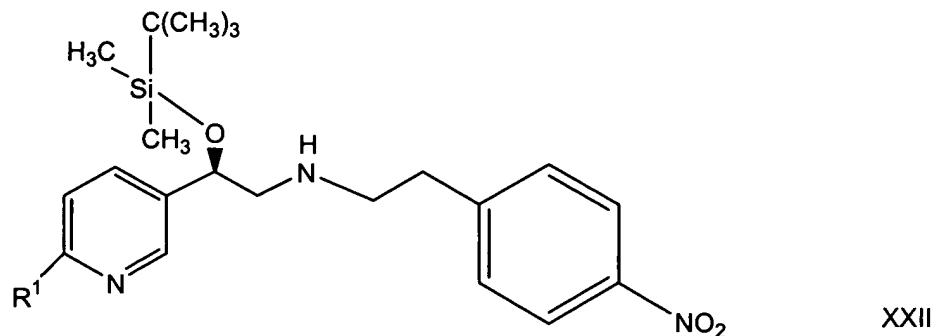
wherein R¹ is hydrogen or chloro and BOC is tert-butoxycarbonyl.

47(original). A compound of the formula



wherein BOC is tert-butoxycarbonyl.

48(original). A compound of the formula



wherein R¹ is hydrogen or halo.